

STIC Search Report

STIC Database Tracking Number: 141167

TO: Irina Zemel

Location: REM 10D64

Art Unit: 1711 January 4, 2005

Case Serial Number: 09/973318

From: Usha Shrestha Location: EIC 1700 REMSEN 4B28

Phone: 571/272-3519

usha.shrestha@uspto.gov

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EIC17000

Questions about the scope or the results of the search? Contact the EIC searcher or contact:

Kathleen Fuller, EIC 1700 Team Leader 571/272-2505 REMSEN 4B28

Voluntary Results Feedback Form
 I am an examiner in Workgroup: Example: 1713 Relevant prior art found, search results used as follows:
102 rejection
☐ 103 rejection
Cited as being of interest.
Helped examiner better understand the invention.
Helped examiner better understand the state of the art in their technology.
Types of relevant prior art found:
☐ Foreign Patent(s)
Non-Patent Literature (journal articles, conference proceedings, new product announcements etc.)
> Relevant prior art not found:
Results verified the lack of relevant prior art (helped determine patentability).
Results were not useful in determining patentability or understanding the invention.
Comments:

Drop off or send completed forms to EIC1700 REMSEN 4B28



Mellerson, Kendra

From:

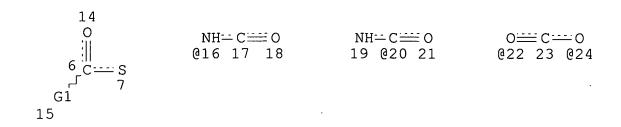
Unknown@Unknown.com

Sent: To: Subject:	Thursday, December 23, 2004 STIC-EIC1700 Generic form response	. 12:30 PM	
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LogNumber=			
Searcher=			
SearcherPhone=			
SearcherBranch=			
MyDate=Thu Dec 23 1	2:29:27 EST 2004		
submitto=STIC-EIC170	ე0@uspto.gov		
Name=i. zemel			•
Empno=71033			
Phone=20577			`
Artunit=1711			
Office=REM10D64			
Serialnum=09/973318			
PatClass=			
Earliest=12 Dec 2000		Ang All	kyl L
Format1=paper		Any All	<i>d</i>
Searchtopic=Claim 1 s end-cupped poly(ethyle	structure with: Z is oxygen, ene glycol) as per claim 7.	Q is pyridine A is hydrogen	ป, polymeric backbone is
Comments=			
send=SEND		any N-containing	Hy Z /

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=> fil req
FILE 'REGISTRY' ENTERED AT 15:02:00 ON 04 JAN 2005
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2005 American Chemical Society (ACS)
=> d his
     FILE 'HCA' ENTERED AT 13:31:46 ON 04 JAN 2005
               E US20030105224/PN
L1
              2 S E3
                SEL L1 RN
     FILE 'REGISTRY' ENTERED AT 13:33:04 ON 04 JAN 2005
             22 S E1-E22
L2
L3
             13 S L2 AND PMS/CI
L4
                STR
L5
              3 S L4 SAM
               SCR 2043
L6
              1 S L4 AND L6
L7
\Gamma8
               STR L4
L9
              1 S L8 AND L6
L10
              3 S L9 FUL
   FILE 'REGISTRY' ENTERED AT 14:02:53 ON 04 JAN 2005
L11
               STR L4
L12
             50 S L11 AND L6
L13
                STR L11
L14
            50 S L13 AND L6
L15
            10 S L14 AND POLYETHER?/PCT
         2320 S L14 FUL
L16
L17
          221 S L16 AND POLYETHER?/PCT
L18
                STR
L19
            171 S L18 FUL SUB=L17
     FILE 'CAPLUS' ENTERED AT 14:46:02 ON 04 JAN 2005
           106 S L19
     FILE 'HCAPLUS' ENTERED AT 14:51:37 ON 04 JAN 2005
L21
        2113647 S CONJUGAT? OR MODIFICATION? OR MODIFY? OR LINK? OR POLYP
           2381 S (PEG OR (?ETHYLENE OR ?ALKYLENE?) (W) GLYCOL?) (3A) (PEPTID
             16 S (L20 (L) L21) OR (L20 AND L22)
L23
L24
             12 S (PEG OR (?ETHYLENE OR ?ALKYLENE?) (W) GLYCOL?) (L) L20
L25
             20 S L23 OR L24
     FILE 'REGISTRY' ENTERED AT 14:59:22 ON 04 JAN 2005
               SAV L19 ZEM973/A
=> d que stat
L6
               SCR 2043
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L13

STR



VAR G1=O/S/16/20/22/24/AK NODE ATTRIBUTES: DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 13

STEREO ATTRIBUTES: NONE

L16 2320 SEA FILE=REGISTRY SSS FUL L13 AND L6

L17 221 SEA FILE=REGISTRY ABB=ON PLU=ON L16 AND POLYETHER?/PCT

L18 STR

0—Ak—0 1 2 3

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 3

STEREO ATTRIBUTES: NONE

L19	171	SEA FILE=REGISTRY SUB=L17 SSS FUL L18
L20	106	SEA FILE=CAPLUS ABB=ON PLU=ON L19
L21	2113647	SEA FILE=HCAPLUS ABB=ON PLU=ON CONJUGAT? OR MODIFICATIO
	•	N? OR MODIFY? OR LINK? OR POLYPEPTIDE? OR DRUG? OR
		CARRIER? OR ALPHA-AMINE OR N-TERMIN?
L22	2381	SEA FILE=HCAPLUS ABB=ON PLU=ON (PEG OR (?ETHYLENE OR
		<pre>?ALKYLENE?) (W) GLYCOL?) (3A) (PEPTIDE? OR PROTEIN?)</pre>
L23	16	SEA FILE=HCAPLUS ABB=ON PLU=ON (L20 (L) L21) OR (L20
		AND L22)
L24	12	SEA FILE=HCAPLUS ABB=ON PLU=ON (PEG OR (?ETHYLENE OR
		?ALKYLENE?) (W) GLYCOL?) (L) L20
L25	20	SEA FILE=HCAPLUS ABB=ON PLU=ON L23 OR L24

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=> d 125 all ibib abs hitstr hitind
L25
     ANSWER 1 OF 20 HCAPLUS COPYRIGHT 2005 ACS on STN
AN
     2004:906019 HCAPLUS
DN
     141:380799
ED
     Entered STN: 29 Oct 2004
ΤI
     Photocurable adhesive composition and its use in the optical field
     Weber, Steven; Jiang, Peigi; Turshani, Yassin; Jallouli, Aref
ΙN
PA
     Essilor International Compagnie Generale d'Optique, Fr.
SO
     PCT Int. Appl., 68 pp.
     CODEN: PIXXD2
     Patent
DT
LA
     English
IC
     ICM
         G02B001-04
          G02B001-10; C09J004-00; C09J133-14; C08F220-38
CC
     38-3 (Plastics Fabrication and Uses)
     Section cross-reference(s): 37, 63, 73
FAN.CNT 1
                         KIND DATE APPLICATION NO. DATE
     PATENT NO.
                                           ______
                               20041028 WO 2004-EP4114
· PI
     WO 2004092787
                         A1
                                                                 200404
                                                                 15
             AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA,
         W:
             CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI,
             GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP,
             KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW,
             MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD,
             SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ,
             VC, VN, YU, ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
             AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE,
             DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT,
             RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW,
             ML, MR, NE, SN, TD, TG
                               20030417
PRAI US 2003-417525
                        Α
CLASS
 PATENT NO.
                CLASS PATENT FAMILY CLASSIFICATION CODES
                        ______
                 ____
 WO 2004092787
                 ICM
                        G02B001-04
                        G02B001-10; C09J004-00; C09J133-14; C08F220-38
                 ICS
     Photocurable adhesive compns. are described which comprise (based on
     total weight of photopolymerizable monomers and/or oligomers) 5-60
weight
```

% of ≥1 mono or polyacrylate monomer or oligomer; 5-50 weight %
 of ≥1 thio(meth)acrylate monomer or oligomer; and 20-50 weight %
 of ≥1 aromatic dimethacrylate monomer or oligomer; with the
 restriction that the composition does not contain a brominated
 monofunctional acrylate. Methods for transferring coatings from
 supports to thermoplastic substrates using the adhesives are also
 described. The substrates may be lenses, especially ophthalmic
lenses.

The coatings may comprise a hydrophobic top coat, an antireflective coating layer, an anti-abrasion coating layer, an impact resistant coating layer, a photochromic coating layer, a dying coating layer, a polarized coating layer, a printed layer or a stack of ≥ 2 of these coating layers. Overmolding processes are also described which produce a substrate overmolded with a cured layer of the curable adhesive composition Processes are also described for

producing

laminated thermoplastic articles (e.g., ophthalmic lenses) by joining elements using the adhesives.

ST bromoacrylate free photocurable adhesive compn; photocurable adhesive compn; coating transfer photocurable adhesive compn; overmolding process photocurable adhesive compn; thermoplastic laminate formation photocurable adhesive compn; ophthalmic lens photocurable adhesive compn

IT Optical materials

(adhesives; photocurable adhesive compns. and their uses)

IT Adhesives

(optical; photocurable adhesive compns. and their uses)

IT Coating process

Lamination

Molding of plastics and rubbers

(photocurable adhesive compns. and their uses in)

IT Eyeglass lenses

Lenses

(photocurable adhesive compns. and their uses in producing)

IT Polycarbonates, uses

(photocurable adhesive compns. and their uses with)

IT Adhesives

(photocurable; photocurable adhesive compns. and their uses)

IT Plastics, uses

(thermoplastics; photocurable adhesive compns. and their uses with)

IT 162881-26-7, Irgacure 819

(photocurable adhesive compns. and their uses)

1T 1680-21-3, Triethylene glycol diacrylate 2223-82-7, Neopentyl glycoldiacrylate 2399-48-6, Tetrahydrofurfuryl acrylate 4074-88-8, Diethylene glycol diacrylate 13048-33-4, 1,6-Hexanediol diacrylate 17831-71-9, Tetraethylene glycol diacrylate 41637-38-1, Ethoxylated bisphenol A dimethacrylate 117651-91-9,

17

```
Bis-2-(methacryloylthioethyl)sulfide 784208-48-6,
Bis-2-(methacryloylthioethyl)sulfide-diethylene
glycol diacrylate-ethoxylated bisphenol A dimethacrylate
copolymer
```

(photocurable adhesive compns. and their uses)

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD RE

(1) Kobayashi, S; US 6369269 B2 2002 HCAPLUS

(2) Ppg Ind Ohio Inc; WO 03011925 A 2003 HCAPLUS

(3) Terahertz Photonics Ltd; WO 0194430 A 2001 HCAPLUS

ACCESSION NUMBER:

2004:906019 HCAPLUS

DOCUMENT NUMBER:

141:380799

TITLE:

Photocurable adhesive composition and its use in

the optical field

INVENTOR(S):

Weber, Steven; Jiang, Peiqi; Turshani, Yassin;

Jallouli, Aref

PATENT ASSIGNEE(S):

Essilor International Compagnie Generale

d'Optique, Fr.

SOURCE:

PCT Int. Appl., 68 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

: 1

PATENT INFORMATION:

P	ATENT	KIND DATE			APPLICATION NO.						DATE						
_									•								
W	0 2004	0927	87		A1		2004	1028	1	WO 2004-EP4114							
																200404 15	
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,	
		CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	
		GB,	GD,	GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JΡ,	KE,	KG,	KΡ,	
		KR,	ΚZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	
		MX,	ΜZ,	NA,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	
		SE,	SG,	SK,	SL,	SY,	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	
		VC,	VN,	YU,	ZA,	ZM,	zw										
	RW:	BW,	GH,	GM,	KE,	LS,	MW,	ΜZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	
		AZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	
		DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,	IT,	LU,	MC,	NL,	PL,	PT,	
		RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	
		ML,	MR,	ΝE,	SN,	TD,	ΤG										
PRIORI	TY APP	LN.	INFO	.:						US 2003-417525					A		
															2	00304	

AB Photocurable adhesive compns. are described which comprise (based on

total weight of photopolymerizable monomers and/or oligomers) 5-60 weight

% of ≥1 mono or polyacrylate monomer or oligomer; 5-50 weight % of ≥1 thio (meth) acrylate monomer or oligomer; and 20-50 weight % of ≥1 aromatic dimethacrylate monomer or oligomer; with the restriction that the composition does not contain a brominated monofunctional acrylate. Methods for transferring coatings from supports to thermoplastic substrates using the adhesives are also described. The substrates may be lenses, especially ophthalmic lenses.

The coatings may comprise a hydrophobic top coat, an antireflective coating layer, an anti-abrasion coating layer, an impact resistant coating layer, a photochromic coating layer, a dying coating layer, a polarized coating layer, a printed layer or a stack of ≥2 of these coating layers. Overmolding processes are also described which produce a substrate overmolded with a cured layer of the curable adhesive composition Processes are also described for producing

laminated thermoplastic articles (e.g., ophthalmic lenses) by joining elements using the adhesives.

TT 784208-48-6, Bis-2-(methacryloylthioethyl) sulfidediethylene glycol diacrylate-ethoxylated bisphenol A dimethacrylate copolymer

(photocurable adhesive compns. and their uses)

RN 784208-48-6 HCAPLUS

CN 2-Propenoic acid, oxydi-2,1-ethanediyl ester, polymer with α,α' -[(1-methylethylidene)di-4,1-phenylene]bis[ω [(2-methyl-1-oxo-2-propenyl)oxy]poly(oxy-1,2-ethanediyl)] and S,S'-(thiodi-2,1-ethanediyl) bis(2-methyl-2-propenethioate) (9CI) (CA INDEX NAME)

CM 1

CRN 117651-91-9 CMF C12 H18 O2 S3

CM 2

CRN 41637-38-1

CMF (C2 H4 O)n (C2 H4 O)n C23 H24 O4

CCI PMS

PAGE 1-A

PAGE 1-B

$$-CH_{2} \xrightarrow{\qquad \qquad } O \xrightarrow{\qquad \qquad } CH_{2} \\ -CH_{2} \xrightarrow{\qquad \qquad } O \xrightarrow{\qquad \qquad } C -C -Me$$

CM 3

CRN 4074-88-8 CMF C10 H14 O5

IC ICM G02B001-04

ICS G02B001-10; C09J004-00; C09J133-14; C08F220-38

CC 38-3 (Plastics Fabrication and Uses) Section cross-reference(s): 37, 63, 73

IT 1680-21-3, Triethylene glycol diacrylate 2223-82-7, Neopentyl glycoldiacrylate 2399-48-6, Tetrahydrofurfuryl acrylate 4074-88-8, Diethylene glycol diacrylate 13048-33-4, 1,6-Hexanediol diacrylate 17831-71-9, Tetraethylene glycol diacrylate 41637-38-1, Ethoxylated bisphenol A dimethacrylate 117651-91-9, Bis-2-(methacryloylthioethyl) sulfide 784208-48-6, Bis-2-(methacryloylthioethyl) sulfide-diethylene glycol diacrylate-ethoxylated bisphenol A dimethacrylate copolymer

(photocurable adhesive compns. and their uses)

L25 ANSWER 2 OF 20 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:905400 HCAPLUS

DOCUMENT NUMBER: 141:362782

TITLE: Modification of silicon-containing scanning

probe microscopy tips and growth of oligo-or poly (ethylene glycol) films on silicon surfaces

through formation of si-c bonds

INVENTOR(S): Cai, Chengzhi; Yam, Chi Ming; Xiao, Zhongdang;

Gu, Jianhua

PATENT ASSIGNEE(S): University of Houston, USA

SOURCE: U.S. Pat. Appl. Publ., 47 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	_	DATE
US 2004213910	A1	20041028	US 2003-742047		200312
PRIORITY APPLN. INFO.:	-		US 2002-434899P	Р	19 200212 20
	•		US 2003-497148P	Р	200308

AB The present invention provides for a practical method of grafting oligo- and/or poly(ethyleneglycol) (OEG and/or PEG) derivs. onto hydrogen-terminated silicon surfaces, including the surfaces of silicon scanning probe microscopy (SPM) tips, by hydrosilylation of OEG and/or PEG-terminated alkenes. This invention is related to the development of silicon-based bio-devices, including biochips, biosensors such as SPM probes, microarrays, micro-fluidic systems, and implantable microdevices. This invention is also a practical method to modify (many) SPM probe tips with OEG/PEG derivs. and to subsequently modify the tip apex with functional single mols. to improve the specificity and resolution of AFM imaging and measurements.

The functional mols. include the dendritic adsorbates with a functional group at their focal point and with or without a

tripod-shaped framework.

IT 778592-70-4P

CN

(modification of silicon-containing scanning probe
microscopy tips and growth of oligo-or poly (ethylene
glycol) films on silicon surfaces through formation of
si-c bonds)

RN 778592-70-4 HCAPLUS

Poly(oxy-1,2-ethanediyl), α -[2-[[12-(acetylthio)-1-oxododecyl]amino]ethyl]- ω -[[12-[10,10-dimethoxy-4-[[3-(trimethoxysilyl)propoxy]methyl]-2,6,11-trioxa-10-siladodec-1-yl]-8-[4-[10,10-dimethoxy-4-[[3-(trimethoxysilyl)propoxy]methyl]-2,6,11-trioxa-10-siladodec-1-yl]-14,14-dimethoxy-8-[[3-(trimethoxysilyl)propoxy]methyl]-2,6,10,15-tetraoxa-14-silahexadec-1-yl]-22,22-dimethoxy-4-oxo-16-[[3-(trimethoxysilyl)propoxy]methyl]-6,10,14,18,23-pentaoxa-3-aza-22-silatetracos-1-yl]oxy]- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

PAGE 1-C

- (CH₂)₁₁ - SAc

PAGE 2-A

$$\begin{array}{c} \text{OMe} \\ \mid \\ \text{MeO-Si-} (\text{CH}_2) \text{ 3-O-CH}_2 \\ \mid \\ \text{OMe} \end{array}$$

IC ICM B32B009-00

NCL 427299000; 428446000

CC 9-14 (Biochemical Methods)

IT 778592-68-0P 778592-69-1P **778592-70-4P**

(modification of silicon-containing scanning probe
microscopy tips and growth of oligo-or poly (ethylene
glycol) films on silicon surfaces through formation of
si-c bonds)

L25 ANSWER 3 OF 20 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

2004:905357 HCAPLUS

DOCUMENT NUMBER:

141:384303

TITLE:

Conjugates containing releasable linkage and pharmaceutical compositions containing the same

INVENTOR(S):

Zalipsky, Samuel; Kiwan, Radwan

PATENT ASSIGNEE(S):

Alza Corporation, USA

SOURCE:

U.S. Pat. Appl. Publ., 56 pp., Cont.-in-part of

U.S. Ser. No. 371,169.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE	
US 2004213759	`A1	20041028	US 2003-723473		200311	
US 6342244	B1	20020129	US 2000-556056		26	
US 2002128195	A1	20020912	US 2001-982336		21 200110 15	
US 6605299 US 2003211079		20030812 20031113	US 2003-371169		200302	
PRIORITY APPLN. INFO	0.:		US 1999-130897P	Р	21 199904 23	
			US 2000-556056	A1	200004	
			US 2001-982336	A1	200110 15	
			US 2003-371169	A2	200302 21	

AB A conjugate comprised of a hydrophilic polymer covalently yet reversibly linked to a amine-, hydroxy- or carboxyl-containing ligand is

described. The resulting conjugate is capable of releasing the parent amine, hydroxy, or carboxyl-containing compound via thiol-mediated

cleavage. The system allows for delivery of various amino-,
 hydroxy-, or carboxy-containing drugs in the form of their
thiolytically

cleavable macromol. conjugates. For example, the prodrug conjugate of mPEG dithiobenzyl nitrophenyl chloroformate with lysozyme was prepared and was found to release the active enzyme by cysteine.

IT 304013-09-0

(pharmaceutical compns. containing thio-linkage conjugates of polymers with drugs or liposomes)

RN 304013-09-0 HCAPLUS

CN Poly(oxy-1,2-ethanediyl), α -[[[2-[(methoxycarbonyl)dithio]buty l]amino]carbonyl]- ω -methoxy- (9CI) (CA INDEX NAME)

$$\begin{tabular}{c|c} \begin{tabular}{c|c} \begin{tabular}{c} \begin{$$

IT 304013-18-1P

(pharmaceutical compns. containing thio-linkage conjugates of polymers with drugs or liposomes)

RN 304013-18-1 HCAPLUS

CN Poly(oxy-1,2-ethanediyl), α -[[[2-[(methoxycarbonyl)dithio]propyl]amino]carbonyl]- ω -methoxy- (9CI) (CA INDEX NAME)

IC ICM A61K031-785

ICS C08G063-48; C08G063-91

NCL 424078270; 525054100

CC 63-6 (Pharmaceuticals)

```
Section cross-reference(s): 25, 35
ΙT
     50-07-7, Mitomycin C
                           75-44-5, Phosgene
                                                78-96-6,
                          107-15-3, Ethylene diamine, reactions
     1-Amino-2-propanol
     121-44-8, Triethyl amine, reactions
                                         124-63-0, Methanesulfonyl
                3695-77-0, Triphenylmethanethiol 4146-16-1, 1-Amino
     2-propanethiol hydrochloride
                                   4521-31-7, O-Mercaptobenzyl alcohol
     4537-76-2, Distearoylphosphatidyl ethanolamine
                                                      7693-46-1,
                                   9001-63-2, Lysozyme
                                                         9004-74-4
     P-Nitrophenyl chloroformate
     10567-21-2
                  26555-40-8, Methoxy carbonyl sulfenyl chloride
     33069-62-4, Paclitaxel
                              51023-28-0 53339-53-0, p-Mercaptobenzyl
               113427-24-0, EPREX
                                    124423-42-3 304013-09-0
     alcohol
        (pharmaceutical compns. containing thio-linkage
        conjugates of polymers with drugs or liposomes)
IΤ
     124661-64-9P
                    304013-12-5P
                                   304013-14-7P
                                                  304013-16-9P
     304013-18-1P
                    304013-19-2P
                                   304013-20-5P
                                                  304013-21-6P
     474404-82-5P
                   781674-80-4P
                                   781674-81-5P
        (pharmaceutical compns. containing thio-linkage
        conjugates of polymers with drugs or liposomes)
L25
   ANSWER 4 OF 20
                     HCAPLUS COPYRIGHT 2005 ACS on STN
                         2004:493895 HCAPLUS
ACCESSION NUMBER:
DOCUMENT NUMBER:
                         141:59651
TITLE:
                         Preparing antigen masked red blood cells having
                         reduced hemolysis by sera by modification with
```

PEG derivatives

Stassinopoulos, Adonis; Mathur, Shruti INVENTOR(S):

PATENT ASSIGNEE(S): Cerus Corporation, USA SOURCE: PCT Int. Appl., 75 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004050897	A2	20040617	WO 2003-US38349	000010
				200312
WO 2004050007	7. 7	20040026		03
WO 2004050897	A3	20040826		
W: AE, AG,	AL, AM, AT	C, AU, AZ, BA	, BB, BG, BR, BY,	BZ, CA, CH,
CN, CO,	CR, CU, CZ	Z, DE, DK, DM	, DZ, EC, EE, ES,	FI, GB, GD,
GE, GH,	GM, HR, HU	J, ID, IL, IN	, IS, JP, KE, KG,	KP, KR, KZ,
LC, LK,	LR, LS, LT	I, LU, LV, MA	, MD, MG, MK, MN,	MW, MX, MZ,
NO, NZ,	OM, PH, PL	, PT, RO, RU	, SD, SE, SG, SK,	SL, TJ, TM,
TN, TR,	TT, TZ, UA	A, UG, US, UZ	, VN, YU, ZA, ZM,	ZW
RW: BW, GH,	GM, KE, LS	S, MW, MZ, SD	, SL, SZ, TZ, UG,	ZM, ZW, AM,

AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG PRIORITY APPLN. INFO.: US 2002-431213P 200212 04 US 2002-431214P 200212 04 US 2002-431215P Р 200212 04 US 2002-431216P 200212 04

GI

the

AB Methods are provided for the preparation of an RBC composition having significantly reduced antigenicity and having reduced levels of hemolysis by any serum or plasma sample. The methods of preparation of

the red cell compns. involve the reaction of an activated antigen masking compound having a mol. weight of approx. 20-40 kDa, wherein

resulting red cells are not readily hemolyzed by any serum or plasma sample, for example by complement lysis. The RBC compns. are of particular use for introduction into an individual in cases where the potential for an immune reaction is high, for example in alloimmunized blood recipients or in trauma situations where the possibility of transfusion of a mismatched unit of blood is higher. E.g., I was prepared from MeO(CH2CH2O)nCONH(CH2)5CO2H and NHS. One of the examples given is determination of agglutination reaction of RBC with I

and similar derivs. Hemolysis of modified RBC are also given.

IT 620597-27-5P 620597-28-6P 620597-29-7P 620597-30-0P 620597-31-1P

(preparation of antigen masked red blood cells having reduced hemolysis by sera by modification with PEG derivs.)

RN 620597-27-5 HCAPLUS

CN Poly(oxy-1,2-ethanediyl), α -[[[6-oxo-6-[(pentafluorophenyl)thio]hexyl]amino]carbonyl]- ω -methoxy-(9CI) (CA INDEX NAME)

RN 620597-28-6 HCAPLUS

CN Poly(oxy-1,2-ethanediyl), α -[[[6-oxo-6-[(2,3,5,6-tetrafluorophenyl)thio]hexyl]amino]carbonyl]- ω -methoxy- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
F & O & O & O & O \\
S - C - (CH_2) & 5 - NH - C & O - CH_2 - CH_2 & O \\
F & F & O
\end{array}$$
OMe

RN 620597-29-7 HCAPLUS

CN Poly(oxy-1,2-ethanediyl), α -[[[6-oxo-6-[(4-fluorophenyl)thio]hexyl]amino]carbonyl]- ω -methoxy- (9CI) (CA INDEX NAME)

$$S-C-(CH_2)_5-NH-C-CH_2-CH_2-NH$$

RN 620597-30-0 HCAPLUS

CN Poly(oxy-1,2-ethanediyl), α -[[[6-oxo-6-[(2,2,2-trifluoroethyl)thio]hexyl]amino]carbonyl]- ω -methoxy- (9CI) (CA INDEX NAME)

$$F_{3}C-CH_{2}-S-C-(CH_{2})_{5}-NH-C-CH_{2}-CH_{2}-CH_{2}-NH-C-CH_{2}-C$$

RN 620597-31-1 HCAPLUS

CN Poly(oxy-1,2-ethanediyl), α -[[[6-(ethylthio)-6-oxohexyl]amino]carbonyl]- ω -methoxy- (9CI) (CA INDEX NAME)

Ets-C-(CH₂)₅-NH-C-
$$\begin{bmatrix} O \\ | \\ C - CH2 - CH2 \end{bmatrix}$$
 OMe

IC ICM C12Q

CC 63-3 (Pharmaceuticals)

Section cross-reference(s): 15, 35

TT 75-89-8P, 2,2,2-Trifluoroethanol 135649-01-3P 620597-18-4P 620597-20-8P 620597-22-0P 620597-24-2P 620597-25-3P 620597-26-4P 620597-27-5P 620597-28-6P 620597-29-7P 620597-30-0P 620597-31-1P

705261-19-4P

(preparation of antigen masked red blood cells having reduced hemolysis by sera by modification with PEG derivs.)

L25 ANSWER 5 OF 20 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:493853 HCAPLUS

DOCUMENT NUMBER: 141:59650

TITLE: Preparation of antigen masked red blood cells

with reduced hemolysis by modification with PEG

derivatives

INVENTOR(S):

Stassinopoulos, Adonis; Clark, Basha

PATENT ASSIGNEE(S): SOURCE:

Cerus Corporation, USA PCT Int. Appl., 68 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent English

LANGUAGE:

FIIGIT

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

PA	ATENT NO.			KIND DATE			APPLICATION NO.						DATE				
WO	2004050848			A2 20040617				WO 2003-US38224						200312			
WO	2004	0508	48		A3 20041209										(03	
		AE, CN, GE, LC, NO,	AG, CO, GH, LK, NZ,	AL, CR, GM, LR, OM,	AM, CU, HR, LS, PH,	AT, CZ, HU, LT, PL,	AU, DE, ID, LU, PT,	AZ, DK, IL, LV, RO,	DM, IN, MA, RU,	DZ, IS, MD, SD,	EC, JP, MG, SE,	EE, KE, MK, SG,	ES, KG, MN, SK,	FI, KP, MW, SL,	GB, KR, MX,	CH, GD, KZ, MZ, TM,	
	RW:	BW, AZ, DK, SE,	GH, BY, EE,	GM, KG, ES, SK,	KE, KZ, FI, TR,	LS, MD, FR, BF,		MZ, TJ, GR,	SD, TM, HU,	SL, AT, IE,	SZ, BE, IT,	TZ, BG, LU,	UG, CH, MC,	ZM, CY, NL,	CZ,	•	
PRIORIT	Y APP	LN.	INFO	.:				٠.		US 2	002-	4312	13P			200212	
										US 2002-431214P						20021 <i>2</i> 04	
										US 2	002-	4312	15P	1		200212	
·										US 2	002-	4312	16P	:		200212	

AB Methods are provided for the preparation of an RBC composition which has significantly reduced antigenicity. The methods of preparation of the

red cell compns. involve the optimization of reaction conditions for attaching antigen masking compds. to the red cells without significantly affecting the function of the red cells, in particular reducing the hemolysis of the red cells from processing of the cells. The RBC compns. are of particular use for introduction into an individual in cases where the potential for an immune reaction is high, for example in allo-immunized blood recipients or in trauma situations where the possibility of transfusion of a mismatched unit of blood in higher. The RBC compns. of this invention provide a much lower risk of a transfusion associated immune reaction. Thus, a derivative of PEG was prepared by from MeO(CH2CH2O)nCONH(CH2)5CO2H and NHS.

IT 620597-27-5P 620597-28-6P 620597-29-7P 620597-30-0P 620597-31-1P

 $\hbox{(preparation of antigen masked red blood cells with reduced hemolysis} \\$

by modification with PEG derivs.)

RN 620597-27-5 HCAPLUS

CN Poly(oxy-1,2-ethanediyl), α -[[[6-oxo-6-[(pentafluorophenyl)thio]hexyl]amino]carbonyl]- ω -methoxy-(9CI) (CA INDEX NAME)

RN 620597-28-6 HCAPLUS

CN Poly(oxy-1,2-ethanediyl), α -[[[6-oxo-6-[(2,3,5,6-tetrafluorophenyl)thio]hexyl]amino]carbonyl]- ω -methoxy- (9CI) (CA INDEX NAME)

F
$$S-C-(CH_2)$$
 $5-NH-C-CH_2-CH_2-CH_2$ OME

RN 620597-29-7 HCAPLUS

CN Poly(oxy-1,2-ethanediyl), α -[[[6-oxo-6-[(4-fluorophenyl)thio]hexyl]amino]carbonyl]- ω -methoxy- (9CI) (CA INDEX NAME)

$$S-C-(CH_2)_5-NH-C-CH_2-CH_2-NH$$

RN 620597-30-0 HCAPLUS

CN Poly(oxy-1,2-ethanediyl), α -[[[6-oxo-6-[(2,2,2-trifluoroethyl)thio]hexyl]amino]carbonyl]- ω -methoxy- (9CI) (CA INDEX NAME)

$$F_{3}C-CH_{2}-S-C-(CH_{2})_{5}-NH-C-C-CH_{2}-CH_{$$

RN 620597-31-1 HCAPLUS

CN Poly(oxy-1,2-ethanediyl), α -[[[6-(ethylthio)-6-oxohexyl]amino]carbonyl]- ω -methoxy-(9CI) (CA INDEX NAME)

Ets-C- (CH₂)₅-NH-C-
$$\begin{bmatrix} O \\ || \\ O - CH2-CH2 \end{bmatrix}$$
_n OMe

IC ICM C12N

CC 63-3 (Pharmaceuticals)

Section cross-reference(s): 15, 35

IT 620597-18-4P 620597-20-8P 620597-22-0P 620597-24-2P

620597-25-3P 620597-26-4P **620597-27-5P**

620597-28-6P 620597-29-7P 620597-30-0P

620597-31-1P 705261-19-4P

 $\hbox{ (preparation of antigen masked red blood cells with reduced hemolysis } \\$

by modification with PEG derivs.)

L25 ANSWER 6 OF 20 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:490702 HCAPLUS

DOCUMENT NUMBER: 141:59647

TITLE: Biological materials activated with polyethylene

glycol compounds

INVENTOR(S): Stassinopoulos, Adonis; Zhou, Xue Min; Bowers,

Simeon G.

PATENT ASSIGNEE(S): Cerus Corporation, USA

SOURCE: PCT Int. Appl., 50 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

PA'	PATENT NO.			KIND DATE			APPLICATION NO.						DATE			
WO	 WO 2004050029			A2 20040617			WO 2003-US38262						200312 03			
WO	2004	0500	29		A3 200410			1021	1							
	W: RW:	CN, GD, KZ, MZ, SK, YU, BW, AZ,	CO, GE, LC, NI, SL, ZA, GH, BY,	CR, GH, LK, NO, SY, ZM, GM, KG,	CU, GM, LR, NZ, TJ, ZW KE, KZ,	CZ, HR, LS, OM, TM,	DE, HU, LT, PG, TN, MW, RU,	DK, ID, LU, PH, TR, MZ, TJ,	DM, IL, LV, PL, TT,	DZ, IN, MA, PT, TZ, SL, AT,	EC, IS, MD, RO, UA, SZ, BE,	EE, JP, MG, RU, UG, TZ, BG,	EG, KE, MK, SC, US, UG, CH,	ES, KG, MN, SD, UZ, ZM, CY,	FI, KP, MW, SE, VC,	VN, AM,
				-	•		ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,
PRIORIT	Y APP	•	•	•	TD,	TG				US 2	002-	4312	13P			200212
										US 2	002-	4312	14P			200212
										US 2	002-	4312	15P			200212
									US 2	002-	4312	16P		P		

200212 04

GΙ

$$Me - O - CH_2 - CH_2 - O - CO - NH - CH_2 - CH_2 - CO - NH - CH_2 - CH_2 - CO - NH - CH_2 - CH_2 - CO - NH - CH_2 -$$

AB The present invention involves new polyethylene glycol derivs. that can be reacted with biol. materials to covalently attach the polyethylene glycol derivative to the material. The biol. materials may

include proteins, liposomes, or cellular compns. The attachment of the polyethylene glycol to the materials results in improved biol. properties, such as reduced elimination of the materials by the immune system. In the case of red blood cells (RBC), the attachment of the compound provides either antigen masking of the red cells or improved viscosity of the red cells at low shear rates. E.g., I was prepared from MeO(CH2CH2O)nCONH(CH2)5CO2H and NHS. RBC were modified with I and a number of examples given showing improvement of properties

of RBCs.

IT 620597-27-5P 620597-28-6P 620597-29-7P 620597-30-0P 620597-31-1P

(biol. materials activated with polyethylene glycol compds.)

RN 620597-27-5 HCAPLUS

CN Poly(oxy-1,2-ethanediyl), α -[[[6-oxo-6-[(pentafluorophenyl)thio]hexyl]amino]carbonyl]- ω -methoxy-(9CI) (CA INDEX NAME)

RN 620597-28-6 HCAPLUS

CN Poly(oxy-1,2-ethanediyl), α -[[[6-oxo-6-[(2,3,5,6-tetrafluorophenyl)thio]hexyl]amino]carbonyl]- ω -methoxy- (9CI) (CA INDEX NAME)

F
$$S-C-(CH_2)_5-NH-C-CH_2-CH_2-CH_2$$
 OME

RN 620597-29-7 HCAPLUS

CN Poly(oxy-1,2-ethanediyl), α -[[[6-oxo-6-[(4-fluorophenyl)thio]hexyl]amino]carbonyl]- ω -methoxy- (9CI) (CA INDEX NAME)

$$S-C-(CH_2)_5-NH-C-CH_2-CH_2-NH$$

RN 620597-30-0 HCAPLUS

CN Poly(oxy-1,2-ethanediyl), α -[[[6-oxo-6-[(2,2,2-trifluoroethyl)thio]hexyl]amino]carbonyl]- ω -methoxy- (9CI) (CA INDEX NAME)

$$_{\mathrm{F_{3}C-CH_{2}-S-C-(CH_{2})}}^{\mathrm{O}}_{5-\mathrm{NH-C}}^{\mathrm{O}} = 0 - \mathrm{CH_{2}-CH_{2}}^{\mathrm{O}}_{-\mathrm{n}}^{\mathrm{OMe}}$$

RN 620597-31-1 HCAPLUS

CN Poly(oxy-1,2-ethanediyl), α -[[[6-(ethylthio)-6 $oxohexyl]amino]carbonyl]-\omega-methoxy- (9CI) (CA INDEX NAME)$

$$\begin{array}{c|c}
O & O \\
\parallel & \parallel \\
Ets-C-(CH_2)_5-NH-C- & O-CH_2-CH_2- & OMe
\end{array}$$

ICM A61K IC

CC 63-3 (Pharmaceuticals)

Section cross-reference(s): 35

ΙT 135649-01-3P 620597-18-4P 620597-19-5P 620597-20-8P 620597-21-9P 620597-22-0P 620597-23-1P 620597-24-2P

620597-25-3P 620597-26-4P **620597-27-5P**

620597-28-6P 620597-29-7P 620597-30-0P

620597-31-1P 693252-88-9P 705261-18-3P 705261-19-4P

705261-20-7P 705261-21-8P

(biol. materials activated with polyethylene glycol compds.)

L25 ANSWER 7 OF 20 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

2003:656446 HCAPLUS

DOCUMENT NUMBER:

139:180851

TITLE:

Thioester-terminated water-soluble polymers and

method of modifying the n-terminus of a

polypeptide therewith

INVENTOR (S.):

Roberts, Michael J.; Fang, Zhihao

PATENT ASSIGNEE(S):

Shearwater Corporation, USA

SOURCE:

U.S. Pat. Appl. Publ., 16 pp., Cont.-in-part of

U.S. Ser. No. 973,318.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO. KIND APPLICATION NO.

	*						
US 2003158333	A1	20030821	US	2002-269028			-
							200210
							09
HG 0003105004	m 1	20020605		0001 070010			09
US 2003105224	A1	20030605	US	2001-973318			
							200110
							09
PRIORITY APPLN. INFO.:			US	2001-973318	•	Α2	
							200110
							09
							U D

AB The invention provides reagents and methods for conjugating a polymer specifically to the $\alpha\text{-amine}$ of a polypeptide. The invention provides monofunctional, bifunctional, and multifunctional polyethylene glycols and related polymers having a terminal thioester moiety capable of specifically conjugating to the $\alpha\text{-amine}$ of a polypeptide having a cysteine or histidine residue at the N-terminus. The invention provides reactive thioester-terminated PEG polymers that have suitable reactivity with an N-terminal cysteine or histidine residue of a polypeptide to produce an amide bond between the PEG mol. and the polypeptide.

IT 511272-28-9P, Polyethylene glycol

 $-\alpha$ -methoxy- ω -propionic Acid, 2-pyridylthioester

511272-29-0P, Polyethylene glycol

 $-\alpha$ -benzyloxy- ω -carboxymethyl, 2-pyridylthioester

511272-30-3P, Polyethylene glycol

-α-methoxy-ω-2-methyl butanoic acid, 2-pyridylthioester
 (thioester-terminated water-soluble polymers and method of
 modifying the n-terminus of a
 polypeptide therewith)

RN 511272-28-9 HCAPLUS

CN Poly(oxy-1,2-ethanediyl), α -methyl- ω -[3-oxo-3-(2-pyridinylthio)propoxy]- (9CI) (CA INDEX NAME)

RN 511272-29-0 HCAPLUS

CN Poly(oxy-1,2-ethanediyl), α -[2-oxo-2-(2-pyridinylthio)ethyl]- ω -(phenylmethoxy)- (9CI) (CA INDEX NAME)

$$S-C-CH_2$$
 $O-CH_2-CH_2$ $O-CH_2-Ph$

RN 511272-30-3 HCAPLUS

CN Poly(oxy-1,2-ethanediyl), α -methyl- ω -[3-methyl-4-oxo-4-(2-pyridinylthio)butoxy]- (9CI) (CA INDEX NAME)

TC. ICM C08G063-48

ICS C08G063-91

525054110; 525054200 NCL

37-3 (Plastics Manufacture and Processing) CC

Section cross-reference(s): 6

ΙT 9002-89-5DP, Poly(vinyl alcohol), thioester-terminated 9003-39-8DP, Poly(vinylpyrrolidone), thioester-terminated

511272-28-9P, Polyethylene glycol

 $-\alpha$ -methoxy- ω -propionic Acid, 2-pyridylthioester

511272-29-0P, Polyethylene glycol

 $-\alpha$ -benzyloxy- ω -carboxymethyl, 2-pyridylthioester

511272-30-3P, Polyethylene glycol

 $-\alpha\text{-methoxy-}\omega\text{--}2\text{-methyl}$ butanoic acid, 2-pyridylthioester (thioester-terminated water-soluble polymers and method of modifying the n-terminus of a polypeptide therewith)

L25 ANSWER 8 OF 20 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:438109 HCAPLUS

DOCUMENT NUMBER:

AUTHOR(S):

140:47157

Synthesis and hydrolytic behavior of TITLE:

2-mercaptoethyl ibuprofenate-polyethylene glycol

conjugate as a novel transdermal prodrug Davaran, Soodabeh; Rashidi, Mohammad R.;

Hashemi, Mahdi

Drug Applied Research Centre, Tabriz University CORPORATE SOURCE:

of Medical Sciences, Tabriz, Iran

SOURCE: Journal of Pharmacy and Pharmacology (2003), 55(4), 513-517

CODEN: JPPMAB; ISSN: 0022-3573

PUBLISHER:

Pharmaceutical Press

DOCUMENT TYPE: LANGUAGE:

Journal English

Thiolated derivs. of ibuprofen and its polyethylene glycol ester were synthesized via condensation of 2-mercaptoethyl ibuprofenate with carboxy-terminated polyethylene glycol. The release of ibuprofen from this polymeric prodrug has been studied under conditions simulating those encountered in the skin. The polymeric prodrug of ibuprofen was found to undergo pH-dependent hydrolysis, ranging from negligible hydrolysis at pH 4 to 23.9% hydrolysis at pH 8.5 (15% at pH 7.4) after 48 h at 37°C. The polymer-drug conjugate was subjected to enzymic hydrolysis in human plasma. The polymer showed considerable enzymic hydrolysis (68% after 48 h). The results showed that the polymeric prodrug model of non-steroidal anti-inflammatory drugs (NSAIDs) described here can be used in topical formulations of NSAIDs. It is expected that the novel thiol

stability

to oxidation which make it a suitable candidate for transdermal formulations.

derivative will have both enhanced transdermal penetration and

IT 635306-09-1P

(synthesis and hydrolysis of 2-mercaptoethyl ibuprofenatepolyethylene glycol conjugate as a

novel transdermal prodrug)

RN 635306-09-1 HCAPLUS

CN Poly(oxy-1,2-ethanediyl), $\alpha-[4-[[2-[[hydroxy[4-(2-methylpropyl)phenyl]acetyl]oxy]ethyl]thio]-1,4-dioxobutyl]-<math>\omega-methoxy-(9CI)$ (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

Bu-i

CC 63-5 (Pharmaceuticals)

Section cross-reference(s): 25, 35

IT 635306-09-1P

(synthesis and hydrolysis of 2-mercaptoethyl ibuprofenatepolyethylene glycol conjugate as a

novel transdermal prodrug)

REFERENCE COUNT:

THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN

THE RE FORMAT

L25 ANSWER 9 OF 20 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:301190 HCAPLUS

DOCUMENT NUMBER: 138:321757

TITLE: Thioester-terminated water soluble polymers and

method of modifying the N-terminus of a

polypeptide therewith

INVENTOR(S): Roberts, Michael James; Fang, Zhihao

PATENT ASSIGNEE(S): Shearwater Corporation, USA

SOURCE: PCT Int. Appl., 39 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.				KIN	D DATE			APPLICATION NO.						DATE	
									- -						
WO 2003031581				A2		2003	0417	1	WO 2	002-1	JS32:	219			
•														20	00210
														0 :	9
WO 2003	0315	81		А3		2003	1016								
W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,
	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,
	GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KΡ,	KR,	KZ,
	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,
	NO,	NZ,	OM,	PH,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	TJ,
	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VN,	YU,	ZA,	ZM,	zw	
RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,
	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,

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EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD,
             TG
     US 2003105224
                                 20030605
                                             US 2001-973318
                           A1
                                                                      200110
                                                                      09
     EP 1434589
                           A2
                                 20040707
                                             EP 2002-795502
                                                                      200210
             AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC,
             PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK
PRIORITY APPLN. INFO.:
                                             US 2001-973318
                                                                      200110
                                                                      09
                                             WO 2002-US32219
                                                                      200210
                                                                      09
AB
     The invention provides reagents and methods for conjugating a
     polymer specifically to the \alpha-amine of a polypeptide.
     invention provides monofunctional, bifunctional, and multifunctional
     PEGs and related polymers having a terminal thioester moiety capable
     of specifically conjugating to the \alpha-amine of a polypeptide
     having a cysteine or histidine residue at the N-terminus.
     invention provides reactive thioester-terminated PEG polymers that
     have suitable reactivity with an N-terminal cysteine or histidine
     residue of a polypeptide to produce an amide bond between the PEG
     mol. and the polypeptide. Thus, a cysteine-terminated Interferon
     tau was modified with poly(ethylene glycol) \alpha-methoxy,
     ω-propionic acid, 2-pyridylthioester.
ΙT
     511272-28-9DP, Poly(ethylene glycol)
     \alpha-methoxy, \omega-propionic acid, 2-pyridylthioester,
     reaction products with peptides 511272-29-0DP, Poly(
     ethylene glycol) α-benzyloxy-ω-
     carboxymethyl, 2-pyridylthioester, reaction products with peptides
     511272-30-3DP, Poly(ethylene glycol)
     \alpha-methoxy-\omega-2-methyl butanoic acid, 2-pyridylthioester,
     reaction products with peptides
        (modification of N-terminated
```

polypeptide using thioester-terminated water soluble

Poly(oxy-1,2-ethanediyl), α -methyl- ω -[3-oxo-3-(2-

pyridinylthio)propoxy] - (9CI) (CA INDEX NAME)

polymers) 511272-28-9

RN

CN

HCAPLUS

RN 511272-29-0 HCAPLUS

CN Poly(oxy-1,2-ethanediyl), α -[2-oxo-2-(2-pyridinylthio)ethyl]- ω -(phenylmethoxy)- (9CI) (CA INDEX NAME)

$$S-C-CH_2-CH_2-CH_2-Ph$$

RN 511272-30-3 HCAPLUS

CN Poly(oxy-1,2-ethanediyl), α -methyl- ω -[3-methyl-4-oxo-4-(2-pyridinylthio)butoxy]- (9CI) (CA INDEX NAME)

IC ICM C12N

CC 35-8 (Chemistry of Synthetic High Polymers)

Section cross-reference(s): 64

17 172956-96-6DP, reaction products with Thioester-terminated polymer
511272-28-9DP, Poly(ethylene glycol)

 α -methoxy, ω -propionic acid, 2-pyridylthioester,

reaction products with peptides 511272-29-0DP, Poly(

ethylene glycol) α-benzyloxy-ω-

carboxymethyl, 2-pyridylthioester, reaction products with peptides 511272-30-3DP, Poly(ethylene glycol)

 $\alpha\text{-methoxy-}\omega\text{--}2\text{-methyl}$ butanoic acid, 2-pyridylthioester, reaction products with peptides

(modification of N-terminated

polypeptide using thioester-terminated water soluble
polymers)

L25 ANSWER 10 OF 20 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:1651 HCAPLUS

DOCUMENT NUMBER: 138:183401

TITLE: Covalent coupling of antibodies to

self-assembled monolayers of

carboxy-functionalized poly(ethylene

glycol): Protein resistance

and specific binding of biomolecules

AUTHOR(S): Herrwerth, S.; Rosendahl, T.; Feng, C.; Fick,

J.; Eck, W.; Himmelhaus, M.; Dahint, R.; Grunze,

Μ.

CORPORATE SOURCE: Angewandte Physikalische Chemie, Universitaet

Heidelberg, Heidelberg, 69120, Germany

SOURCE: Langmuir (2003), 19(5), 1880-1887

CODEN: LANGD5; ISSN: 0743-7463

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal LANGUAGE: English

We report the synthesis, film formation, protein resistance, and AB specific antigen binding capability of a carboxy-functionalized poly(ethylene glycol) alkanethiol [HOOC-CH2-(OCH2-CH2)n-O-(CH2)11-SH, n = 22-45]. Despite its polymeric character, the mol. is found to form a densely packed self-assembled monolayer on polycryst. gold, if adsorbed from DMF solution Due to its chain length distribution, the carboxy tailgroups are expected to be partially buried within the film and, thus, do not affect the protein repulsive characteristics of the ethylene glycol moieties when exposed to fibrinogen and IgG (IgG). However, if activated by N-hydroxysuccinimide and N-(3-dimethylaminopropyl)-Nethylcarbodiimide hydrochloride, antibodies can be covalently coupled to the monolayer. While resistance to nonspecific fibrinogen and IgG adsorption is maintained for this biol. active layer, it exhibits high specific antigen binding capacity. performance of this highly selective surface is compared to that of antibody films prepared by standard aminosilane chemical

IT 498553-37-0P

(covalent coupling of antibodies to self-assembled monolayers of carboxy-functionalized poly(ethylene glycol))

RN 498553-37-0 HCAPLUS

CN Poly(oxy-1,2-ethanediyl), α -[11-(acetylthio)undecyl]- ω -(carboxymethoxy)- (9CI) (CA INDEX NAME)

$$HO_2C-CH_2-O$$
 CH_2-CH_2-O n $(CH_2)_{11}-SAC$

· CC 9-16 (Biochemical Methods)

Section cross-reference(s): 15

ΙΤ 442852-82-6P 498553-36-9P **498553-37-0P**

(covalent coupling of antibodies to self-assembled monolayers of

carboxy-functionalized poly(ethylene glycol))

REFERENCE COUNT:

THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE

IN THE RE FORMAT

L25 ANSWER 11 OF 20 HCAPLUS COPYRIGHT 2005 ACS on STN

39

ACCESSION NUMBER:

2002:849373 HCAPLUS

DOCUMENT NUMBER:

137:358081

TITLE:

Diagnostic imaging compositions, their methods

of synthesis, and use

INVENTOR(S):

Li, Chun; Wen, Xiaoxia; Wu, Qing-Ping; Wallace,

Sydney; Ellis, Lee M.

PATENT ASSIGNEE(S):

Board of Regents, the University of Texas

System, USA

SOURCE:

PCT Int. Appl., 84 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA!	rent	NO.			KIN	D -	DATE			APPL	ICAT	ION :	NO.		D.	ATE
WO	WO 2002087498				A2		20021107			WO 2002-US12510				_	00204	
	2002087498 2002087498												19			
	W: RW:	AE, CN, GE, LC, NO, TM, GH, BY, FR,	AG, CO, GH, LK, NZ, TN, GM, KG, GB, CM,	AL, CR, GM, LR, OM, TR, KE, KZ, GR,	AM, CU, HR, LS, PH, TT, LS, MD, IE, GN,	AT, CZ, HU, LT, PL, TZ, MW, RU, IT, GQ,	AU, DE, ID, LU, PT, UA, MZ, TJ, LU, GW,	AZ, DK, IL, LV, RO, UG, SD, TM, MC, ML,	DM, IN, MA, RU, UZ, SL, AT, NL, MR,	DZ, IS, MD, SD, VN, SZ, BE, PT, NE,	EC, JP, MG, SE, YU, TZ, CH, SE, SN,	EE, KE, MK, SG, ZA, UG, CY, TR,	ES, KG, MN, SI, ZM, DE, BF,	FI, KP, MW, SK, ZW ZW, DK,	GB, KR, MX, SL, AM, ES,	GD, KZ, MZ, TJ,
CA	2444	483			AA		2002	1107		CA 2	002-	2444	483		2 1	00204
US	2002	1972	61		A1		2002	1226		US 2	002-	1263	69		_	00204

US 2003003048	A1	20030102	us 2002-126216		19
03 2003003046	AI	20030102	05 2002-120210		200204 19
EP 1389090	A2	20040218	EP 2002-766783		200204
			GB, GR, IT, LI, LU, MK, CY, AL, TR	NL, SI	19 , MC,
PRIORITY APPLN. INFO.:	,	,,,	US 2001-286453P	Р	200104 26
			US 2001-334969P	Р	200112 04
			US 2001-343147P	Р	200112
			WO 2002-US12510	W	200204 19

AB Conjugate mols. comprising a ligand bonded to a polymer are disclosed. One such conjugate mol. comprises a ligand bonded to a polymer, a chelating agent bonded to the polymer, and a radioisotope chelated to the chelating agent. The conjugate mols. may be useful in detecting and/or treating tumors or biol. receptors. These conjugate mols. may be synthesized without the necessity of preactivation of the ligand using an SCN-polymer-chelating agent precursor. Conjugate mols. incorporating an annexin V ligand are particularly useful for visualizing apoptotic cells. Conjugate mols. incorporating a C225 ligand are particularly useful for targeting tumors expressing EGFR.

IT 474816-75-6P

(diagnostic imaging compns. comprising radiolabeled conjugates)

RN 474816-75-6 HCAPLUS

CN Poly(oxy-1,2-ethanediyl), α -[2-[[(acetylthio)acetyl]amino]ethy l]- ω -[2-[[[bis[2-(2,6-dioxo-4-morpholinyl)ethyl]amino]acetyl]a mino]ethoxy]- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

$$-$$
 O \longrightarrow CH₂- CH₂- NH- C- CH₂- SAC

IC ICM A61K

CC 63-5 (Pharmaceuticals)

Section cross-reference(s): 1, 8

IT 474816-74-5P 474816-75-6P 474816-76-7P 474816-77-8P

474816-78-9P

(diagnostic imaging compns. comprising radiolabeled conjugates)

L25 ANSWER 12 OF 20 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 20

2002:796717 HCAPLUS

DOCUMENT NUMBER:

138:25181

TITLE:

Grafting of Alkanethiol-Terminated Poly(ethylene

glycol) on Gold

AUTHOR(S):

Tokumitsu, S.; Liebich, A.; Herrwerth, S.; Eck,

W.; Himmelhaus, M.; Grunze, M.

CORPORATE SOURCE:

Lehrstuhl fuer Angewandte Physikalische Chemie,

Universitaet Heidelberg, Heidelberg, 69120,

Germany

SOURCE:

Langmuir (2002), 18(23), 8862-8870

CODEN: LANGD5; ISSN: 0743-7463

PUBLISHER:

American Chemical Society

DOCUMENT TYPE:

Journal

LANGUAGE:

English

AB The grafting of alkanethiol-terminated poly(ethylene glycol) [HS(CH2)11(OCH2CH2)n-OCH3; n = 34-56, MW \approx 2224 Da] onto polycryst. gold from dilute solns. was investigated by ellipsometry, XPS, IR reflection-absorption spectroscopy, and in situ second harmonic generation. After immersion of a gold-coated Si wafer into a 50 μM DMF solution, the thickness of the grafted layer increases in a first rapid step up to .apprx.20 Å. After about 10 min, the thickness rises notably again and reaches saturation after .apprx.2 h

at .apprx.120 Å. The kinetics of film formation clearly deviate from Langmuir kinetics, which is normally observed for the self-assembly of nonfunctionalized alkanethiols. The observation can be explained by a conformational transition of the grafted poly(ethylene glycol) chains from amorphous coils to a brush morphol., predominantly consisting of helixes with an orientation perpendicular to the surface. The second harmonic generation expts. show that the coverage at saturation of adsorption corresponds to .apprx.90% that of self-assembled monolayers of alkanethiols, indicating a densely packed film.

IT 478170-77-3P

(intermediate; conformational and self-assembly aspects to grafting of alkanethiol-terminated poly(ethylene glycol) on gold)

RN. 478170-77-3 HCAPLUS

CN Poly(oxy-1,2-ethanediyl), α -[11-(acetylthio)undecyl]- ω methoxy- (9CI) (CA INDEX NAME)

MeO
$$CH_2-CH_2-O$$
 n (CH₂)₁₁-SAc

CC 36-6 (Physical Properties of Synthetic High Polymers)

IT 215360-19-3P 478170-77-3P

(intermediate; conformational and self-assembly aspects to grafting of alkanethiol-terminated poly(ethylene glycol) on gold)

REFERENCE COUNT:

THERE ARE 54 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 13 OF 20 HCAPLUS COPYRIGHT 2005 ACS on STN

54

ACCESSION NUMBER: 2002:625021 HCAPLUS

DOCUMENT NUMBER: 137:353475

TITLE: Reversible, dithiobenzyl urethane linked

polymer-protein conjugates

AUTHOR(S): Zalipsky, Samuel; Kiwan, Radwan; Mullah, Nasreen

CORPORATE SOURCE:

SOURCE:

Alza Corporation, Mountain View, CA, 94043, USA Polymer Preprints (American Chemical Society,

Division of Polymer Chemistry) (2002), 43(2),

CODEN: ACPPAY; ISSN: 0032-3934

PUBLISHER: American Chemical Society, Division of Polymer

Chemistry

DOCUMENT TYPE:

Journal; (computer optical disk)

LANGUAGE:

English

AΒ Attachment of methoxy-poly(ethylene glycol)

(mPEG) to protein amino groups via dithiobenzyl (DTB) carbamate linkage results in a conjugate capable of losing its PEG coating by reacting with thiols (e.g., Cys). A new reagent,

mPEG-DTB-NPC, was prepared and evaluated on a model protein, lysozyme. Thiolytic decomposition of mPEG-DTB-lysozyme lead to recovery of the original protein (by LC-MS) concomitantly with its bacterial cell-wall lysing activity. The results suggest suitability of this approach for temporary PEGylation of therapeutic proteins, which dramatically lose their activity when subjected to permanent PEGylation. Since scission of accessible disulfides under in vivo conditions is known, we anticipate the mPEG-DTB-proteins to behave as macromol. prodrugs.

ΙT 304013-18-1P

> (reversible, dithiobenzyl urethane linked polymer-protein conjugates)

RN 304013-18-1 HCAPLUS

CN Poly(oxy-1,2-ethanediyl), α -[[[2-[(methoxycarbonyl)dithio]prop yl]amino]carbonyl]-ω-methoxy- (9CI) (CA INDEX NAME)

CC 35-8 (Chemistry of Synthetic High Polymers)

Section cross-reference(s): 34, 63

51023-28-0P **304013-18-1P** 304013-19-2P 474404-82-5P IT

(reversible, dithiobenzyl urethane linked

polymer-protein conjugates)

REFERENCE COUNT:

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 14 OF 20 HCAPLUS COPYRIGHT 2005 ACS on STN L25

 ACCESSION NUMBER: 2002:232103 HCAPLUS DOCUMENT NUMBER: 137:20718 TITLE: Enzymatic surface-initiated polymerization of 3-(R)-hydroxybutyryl-coenzyme A: Surface modification of a solid substrate with a biodegradable and biocompatible polymer: poly(3-hydroxybutyrate) AUTHOR(S): Kim, Young-Rok; Paik, Hyun-jong; Ober, Christopher K.; Coates, Geoffrey W.; Batt, Carl Nanobiotechnology Center, Dep. Food Sci., CORPORATE SOURCE: Cornell Univ., Ithaca, NY, 14853-1501, USA Polymer Preprints (American Chemical Society, SOURCE: Division of Polymer Chemistry) (2002), 43(1), 706-707 CODEN: ACPPAY; ISSN: 0032-3934 PUBLISHER: American Chemical Society, Division of Polymer Chemistry Journal; (computer optical disk) DOCUMENT TYPE: LANGUAGE: English The enzymic surface-initiated polymerization of 3-(R)-hydroxybutyryl-CoA to produce polyesters, PHB, using PHB synthase immobilized on solid substrate is described. PHB synthase fused with a poly-His tag was immobilized on silicon and agarose bead through a Ni-NTA linker, and the enzyme retained the activity to synthesize PHB in its immobilized form. Synthesized polymer on the surfaces was characterized with FTIR, AFM and Confocal laser scanning microscopy. AFM micrographs showed that the Si/SiO2 surface was completely covered with PHB film with the roughness (rms) as high as 21 nm. To the authors' knowledge, this is the first example of enzymic surface-initiated polymerization ΙT 434333-51-4P (enzymic surface-initiated polymerization of 3-(R)-hydroxybutyryl-CoA and surface modification of solid substrates with prepared biodegradable and biocompatible polyester)

CN Coenzyme A, S-[(3R)-3-hydroxybutanoate], homopolymer (9CI) (CA INDEX NAME)

CM 1

RN

CRN 21804-29-5

434333-51-4 HCAPLUS

CMF C25 H42 N7 O18 P3 S

Absolute stereochemistry. Rotation (-).

PAGE 1-A

PAGE 1-B

CC 35-7 (Chemistry of Synthetic High Polymers)

Section cross-reference(s): 26, 37

IT 434333-51-4P

(enzymic surface-initiated polymerization of

3-(R)-hydroxybutyryl-CoA

and surface modification of solid substrates with prepared biodegradable and biocompatible polyester)

REFERENCE COUNT:

THERE ARE 14 CITED REFERENCES AVAILABLE

FOR THIS RECORD. ALL CITATIONS AVAILABLE

IN THE RE FORMAT

L25 ANSWER 15 OF 20 HCAPLUS COPYRIGHT 2005 ACS on STN

14

ACCESSION NUMBER: 2001:712292 HCAPLUS

DOCUMENT NUMBER: 136:374762

TITLE: A tissue sealant based on reactive

multifunctional polyethylene glycol

AUTHOR(S): Wallace, D. G.; Cruise, G. M.; Rhee, W. M.;

Schroeder, J. A.; Prior, J. J.; Ju, J.; Maroney,

M.; Duronio, J.; Ngo, M. H.; Estridge, T.;

Coker, G. C.

CORPORATE SOURCE: Cohesion Technologies, Palo Alto, CA, 94303, USA

SOURCE:

Journal of Biomedical Materials Research (2001),

58(5), 545-555

CODEN: JBMRBG; ISSN: 0021-9304

PUBLISHER:

John Wiley & Sons, Inc.

DOCUMENT TYPE:

Journal

LANGUAGE:

English

AB A rapidly gelling synthetic tissue sealant was developed from tetra-succinimidyl and tetra-thiol-derivatized polyethylene glycol (PEG). The two reagents were dissolved in aqueous buffers at 20% (v/v)

solids and sprayed on the tissue site, with the use of a sprayer/mixer device. Good adhesion to collagen membranes, PTFE grafts, and carotid artery was observed in vitro. In a burst test on collagen membranes with a 2-mm orifice defect, the gel sustained fluid pressures of 125 ± 36 mm Hg (n = 18), fivefold greater than capillary blood pressure and one-half that observed in hypertension. On 0.4-mm-diameter puncture defects in PTFE grafts, pressures of 390-490 mm Hg were sustained, and on 0.6-0.9-mm puncture defects in carotid arteries, pressures of 490 to 840 mm Hg were sustained. vitro data corresponded to results in vivo, where bleeding in rabbit arteries was stopped immediately in five out of six trials. A significant reduction in time to hemostasis and blood loss, compared

to

controls, was observed Carotid artery and s.c. implant data in rabbits

showed that the formula was compatible with biol. tissue. Rapid gelling and effective sealing were dependent on the presence of active succinimidyl ester and thiol groups on PEG. HPLC and chemical substitution methods were useful in predicting whether batches of derivatized PEG would perform satisfactorily.

ΙT 422304-33-4P

> (tissue sealant based on reactive multifunctional polyethylene glycol)

422304-33-4 HCAPLUS RN

Poly(oxy-1,2-ethanediyl), α -[5-[(2-hydroxyethyl)thio]-1,5-CN dioxopentyll- ω -hydroxy-, 2-ether with α -hydro- ω hydroxypoly(oxy-1,2-ethanediyl) (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

 $-CH_2 \longrightarrow OH$

CC 63-7 (Pharmaceuticals)

IT 359011-60-2P, Coseal 422304-33-4P

(tissue sealant based on reactive multifunctional

polyethylene glycol)

REFERENCE COUNT:

29

THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE

IN THE RE FORMAT

L25 ANSWER 16 OF 20 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

2000:772486 HCAPLUS

DOCUMENT NUMBER:

133:340247

TITLE:

Releasable linkage and compositions containing

same

INVENTOR(S):

Zalipsky, Samuel

PATENT ASSIGNEE(S):

Alza Corporation, USA PCT Int. Appl., 63 pp.

SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE					
WO 2000064483	A2 20001102	WO 2000-US10830						
			200004 21					
WO 2000064483	A3 20010802							
W: AE, AG, AL,	AM, AT, AU, AZ, BA	, BB, BG, BR, BY, CA	, CH, CN,					
CR, CU, CZ,	DE, DK, DM, DZ, EE	, ES, FI, GB, GD, GE	, GH, GM,					
		, KG, KP, KR, KZ, LC						
LS, LT, LU,	LV, MA, MD, MG, MK	, MN, MW, MX, NO, NZ	, PL, PT,					
RO, RU, SD,	SE, SG, SI, SK, SL	, TJ, TM, TR, TT, TZ	, UA, UG,					
UZ, VN, YU,	ZA, ZW, AM, AZ, BY	, KG, KZ, MD, RU, TJ	, TM					
RW: GH, GM, KE,	LS, MW, SD, SL, SZ	, TZ, UG, ZW, AT, BE	, CH, CY,					
DE, DK, ES,	FI, FR, GB, GR, IE	, IT, LU, MC, NL, PT	, SE, BF,					
BJ, CF, CG,	CI, CM, GA, GN, GW	, ML, MR, NE, SN, TD	, TG					
CA 2368793	AA 20001102	CA 2000-2368793						
			200004					

EP 1173221	A2	20020123	EP 2000-923572		21
EI 11/3221	AZ	20020123	EF 2000 923372		200004 21
R: AT, BE, CH, PT, IE, SI,			GB, GR, IT, LI, LU,	NL, SE	E, MC,
JP 2002542386			JP 2000-613473		200004
NZ 514990	A	20040130	NZ 2000-514990		200004
AU 770390	B2	20040219	AU 2000-43672		200004
NO 2001005169	A	20011219	NO 2001-5169		200110
ZA 2001008724	A	20021023	ZA 2001-8724		200110
ZA 2001008726	А	20030305	ZA 2001-8726		200110
PRIORITY APPLN. INFO.:		. •	US 1999-130897P	P	199904
			WO 2000-US10830	W	200004

AB A compound comprised of a hydrophilic polymer covalently yet reversibly linked to an amine-containing ligand through a dithiobenzyl linkage is described. O- and p-methoxy polyethylene glycol-urethane-ethyldithiobenzyl-distearoylphosphatidyl ethanolamine were prepared and combined with dioleoyl phosphatidylehtanolamine (DOPE) to obtain liposomes having an average diameter of 100 nm.

IT 304013-09-0

(preparation of conjugates of amine-containing drugs with hydrophilic polymers through dithiobenzyl linkages

RN 304013-09-0 HCAPLUS

CN Poly(oxy-1,2-ethanediyl), α -[[[2-[(methoxycarbonyl)dithio]buty l]amino]carbonyl]- ω -methoxy- (9CI) (CA INDEX NAME)

IT 304013-18-1P

(preparation of conjugates of amine-containing drugs with hydrophilic polymers through dithiobenzyl linkages

RN 304013-18-1 HCAPLUS

CN Poly(oxy-1,2-ethanediyl), α -[[[2-[(methoxycarbonyl)dithio]propyl]amino]carbonyl]- ω -methoxy- (9CI) (CA INDEX NAME)

IC ICM A61K047-48

CC 63-6 (Pharmaceuticals)

TT 75-15-0, Carbon disulfide, reactions 78-96-6, 1-Amino-2-propanol 107-15-3, 1,2-Ethanediamine, reactions 124-63-0, Methane sulfonyl chloride 3695-77-0, Triphenylmethanethiol 4537-76-2, Distearoylphosphatidyl ethanolamine 7664-93-9, Sulfuric acid, reactions 7693-46-1, p-Nitrophenyl chloroformate 9004-74-4, Methoxy polyethylene glycol 10567-21-2 13552-21-1, 1-Amino-2-butanol 26555-40-8 53339-53-0, 4-Mercaptobenzyl alcohol 304013-09-0

(preparation of conjugates of amine-containing drugs with hydrophilic polymers through dithiobenzyl linkages)

IT 926-25-0P 1437-71-4P 1437-90-7P, 5-Methylthiazolidine-2-thione 1437-92-9P 4146-02-5P 4146-16-1P 124661-64-9P 304013-12-5P 304013-14-7P 304013-16-9P **304013-18-1P** 304013-19-2P 304013-20-5P 304013-21-6P 304013-22-7P 304013-29-4P 304013-31-8P 304013-33-0P

(preparation of **conjugates** of amine-containing **drugs** with hydrophilic polymers through dithiobenzyl **linkages**)

L25 ANSWER 17 OF 20 HCAPLUS COPYRIGHT 2005 ACS on STN

- ACCESSION NUMBER:

1999:484826 HCAPLUS

DOCUMENT NUMBER:

131:303294

TITLE:

New Detachable Poly(ethylene glycol) Conjugates:

Cysteine-Cleavable Lipopolymers Regenerating

Natural Phospholipid, Diacyl

Phosphatidylethanolamine

AUTHOR(S):

Zalipsky, Samuel; Qazen, Masoud; Walker, John
A., II; Mullah, Nasreen; Quinn, Yolanda P.;

Huang, Shi Kun

CORPORATE SOURCE:

SOURCE:

Alza Corporation, Menlo Park, CA, 94025, USA Bioconjugate Chemistry (1999), 10(5), 703-707

CODEN: BCCHES; ISSN: 1043-1802

PUBLISHER:

American Chemical Society

DOCUMENT TYPE:

Journal English

LANGUAGE:

AΒ

A new strategy for the reversible attachment of methoxypolyethylene glycol (mPEG) to an amino-containing substrate is described. The strategy is based on formation of a benzyl carbamate linkage substituted with a disulfide in the para or ortho position. While being stable under nonreducing conditions, the dithiobenzyl (DTB) urethane linkage is susceptible to cleavage by mild thiolysis with cysteine resulting in release of the parent amino component of the conjugate in its original form. The method is exemplified by

preparation

of mPEG-DTB-alc., its activation and attachment to distearoylphosphatidylethanolamine (DSPE). The resulting lipopolymer incorporates into liposomes, which are capable of losing their polymer coating under conditions approximating those existing in vivo. Implications for drug delivery are briefly discussed.

IT 247082-31-1P

(detachable **PEG conjugates** as cysteine-cleavable lipopolymers regenerating diacyl phosphatidylethanolamine)

RN 247082-31-1 HCAPLUS

CN Poly(oxy-1,2-ethanediyl), α -[[[[(methoxycarbonyl)dithio]methyl]amino]carbonyl]- ω -methoxy- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{MeO-C-S-S-CH}_2 - \text{NH-C} & \hline \\ \text{O-CH}_2 - \text{CH}_2 \\ \hline \end{array} \\ \text{O-CH}_2 - \text{CH}_2 \\ \hline \end{array}$$

CC 63-5 (Pharmaceuticals)

IT **247082-31-1P** 247082-32-2P 247082-33-3P 247082-34-4P

247082-35-5P

(detachable PEG conjugates as

cysteine-cleavable lipopolymers regenerating diacyl phosphatidylethanolamine)

REFERENCE COUNT:

18

THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 18 OF 20 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

1999:213144 HCAPLUS

DOCUMENT NUMBER:

130:257347

TITLE:

Bifunctional water-soluble polymer derivative

for complexation with proteins

INVENTOR(S):

Tagawa, Toshiaki; Yada, Nobuhisa; Hirakawa,

Yoko; Hosokawa, Saiko; Suzuki, Tsutomu; Nagaike,

PATENT ASSIGNEE(S):

Mitsubishi Chemical Corporation, Japan

SOURCE:

Eur. Pat. Appl., 22 pp.

CODEN: EPXXDW

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA'	TENT	NO.			KINI		APPLICATION NO.	DATE
EP	9031	. <u>-</u> .52			A2		4 EP 1998-117466	199809
	9031 9031	.52 .52				1999070 2003111		15
		PT,	IE,	SI,	LT,	LV, FI, RO		SE, MC,
EP	1352	:662			A1	2003101	5 EP 2003-16154	199809 15
	R:	•	-	CH, FI,	-	DK, ES, FR	, GB, GR, IT, LI, LU, NL,	SE, MC,
AT	2539					2003111	5 AT 1998-117466	199809 15
ES	2210	635	-		Т3	2004070	1 ES 1998-117466	199809 15
CA	2247	764			AA	1999031	7 CA 1998-2247764	199809 16
US	2002	20253	12		A1	2002022	8 US 1998-154028	199809

	ZEMEL 09/973,318					Page 44
CN 1214348	A	19990421	CN	1998-119512		16
CN 1214340	71	13330421	CIV	1990 119912		199809 17
JP 11152234	A2	19990608	JP	1998-263262		199809
PRIORITY APPLN. INFO.:			JP	1997-251624	A	17
						199709 17
			JP	1997-251625	А	199709 17

AB A bifunctional water-soluble polymer derivative having a moiety reactive

with an amino group and a thiol group moiety or a latent thiol group moiety. A S-acetylthioglycolic acid PEG N-hydroxysuccinimide derivative

was prepared and coupled to mols. such as IgG and monoclonal antibodies.

IT 221354-28-5DP, reaction products with proteins

(bifunctional water-soluble polymer derivative for complexation

EP 1998-117466

A3

199809 15

proteins)

with

RN 221354-28-5 HCAPLUS

CN Poly(oxy-1,2-ethanediyl), α -[2-[[(acetylthio)acetyl]imino]ethy l]- ω -[2-[(2,5-dioxo-1-pyrrolidinyl)oxy]-2-oxoethoxy]- (9CI) (CA INDEX NAME)

IT 221354-27-4P 221354-28-5P

(bifunctional water-soluble polymer derivative for complexation with

proteins)

RN 221354-27-4 HCAPLUS

CN Poly(oxy-1,2-ethanediyl), α -[2-[[(acetylthio)acetyl]imino]ethy l]- ω -(carboxymethoxy)- (9CI) (CA INDEX NAME)

RN 221354-28-5 HCAPLUS

CN Poly(oxy-1,2-ethanediyl), α -[2-[[(acetylthio)acetyl]imino]ethy 1]- ω -[2-[(2,5-dioxo-1-pyrrolidinyl)oxy]-2-oxoethoxy]- (9CI) (CA INDEX NAME)

IC ICM A61K047-48

CC 63-6 (Pharmaceuticals)

ST PEG thio deriv protein complex

IT 221354-28-5DP, reaction products with proteins

(bifunctional water-soluble polymer derivative for complexation with

proteins)

IT 221354-27-4P 221354-28-5P 221354-32-1DP,

reaction products with proteins 221354-32-1P

(bifunctional water-soluble polymer derivative for complexation

with

proteins)

L25 ANSWER 19 OF 20 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1998:348027 HCAPLUS

DOCUMENT NUMBER: 129:58734

TITLE: Hydrophilic copolymers prepared from acrylic

type derivatives of ibuprofen containing

hydrolyzable thioester bond

AUTHOR(S): Davaran, Soodabeh; Entezami, Ali Akbar

CORPORATE SOURCE: Laboratory of Polymer, Faculty of Chemistry,

Tabriz University, Tabriz, Iran

European Polymer Journal (1998), 34(2), 187-192

CODEN: EUPJAG; ISSN: 0014-3057

Elsevier Science Ltd.

DOCUMENT TYPE: Journal LANGUAGE: English

Hydrophilic copolymers of S-methacryloyloxyethyl- α -methyl-4-(2-AB methylpropyl)benzenethioacetate (MOETE), a methacrylic derivative of ibuprofen in which the drug is separated from the methacrylic counterpart by an oxyethylene spacer arm and hydrolytically labile thioester bond, were prepared by free radical copolymn. of MOETE with methacrylic acid, methacrylamide and vinylimidazole. A water-dispersible copolymer was prepared by copolymn. of polyethylene glycol methacrylate as a water-soluble macromonomer. The alkaline hydrolysis of resulting polymers in physiol. conditions showed that the drug can be released by selective hydrolysis of the thioester bond located between the drug moiety and oxyethylene spacer arm. The hydrolysis behavior of the drug containing copolymers was compared in similar conditions. The copolymer containing methacrylamide units was hydrolyzed rapidly. The influence of the chemical structure of

the

and

SOURCE:

PUBLISHER:

drug carriers such as the polymer backbone, nature of hydrolyzable bond and the type of comonomer used as solubilizer as well as the hydrophilicity and mol. wts. of drug-polymer conjugates are discussed according to the hydrolysis behavior of the corresponding polymers.

IT 208463-95-0P

 $(preparation\ of\ ibuprofen\ methacrylate\ derivative\ and\ its\ polymers$

hydrolytic **drug** release)

RN 208463-95-0 HCAPLUS

CN 2-Propenoic acid, 2-methyl-, 2-[[2-[4-(2-methylpropyl)phenyl]-1-oxopropyl]thio]ethyl ester, polymer with α -(2-methyl-1-oxo-2-propenyl)- ω -methoxypoly(oxy-1,2-ethanediyl) (9CI) (CA INDEX NAME)

CM 1

CRN 208463-91-6 CMF C19 H26 O3 S

CM 2

CRN 26915-72-0

CMF (C2 H4 O)n C5 H8 O2

CCI PMS

$$^{\text{H}_2\text{C}} \circ \\ \parallel \parallel \\ \parallel \\ \text{Me-C-C} = 0 - \text{CH}_2 - \text{CH}_2 - \text{OMe}$$

CC 63-6 (Pharmaceuticals)

Section cross-reference(s): 35, 38

IT 208463-92-7P 208463-93-8P 208463-94-9P **208463-95-0P**

208463-96-1P 208539-85-9P

(preparation of ibuprofen methacrylate derivative and its polymers

and

hydrolytic drug release)

REFERENCE COUNT:

18 THERE ARE 18 CITED REFERENCES AVAILABLE

FOR THIS RECORD. ALL CITATIONS AVAILABLE

IN THE RE FORMAT

L25 'ANSWER 20 OF 20 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

1987:41559 HCAPLUS

DOCUMENT NUMBER:

106:41559

TITLE:

Electrophotographic photoreceptor

INVENTOR(S):

Oaku, Kenichi; Guen, Chan Kee; Aizawa, Masao;

Nakano, Hiroshi

PATENT ASSIGNEE(S):

Dainippon Ink and Chemicals, Inc., Japan

Jpn. Kokai Tokkyo Koho, 19 pp.

CODEN: JKXXAF

DOCUMENT TYPE:

Patent

LANGUAGE:

SOURCE:

Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
 JP 61124951	A2	19860612	JP 1984-246177	100411
PRIORITY APPLN. INFO.:			JP 1984-246177	198411 22
INIONITI MILDIN. IIVIO			01 1304 240177	198411 22

AB The claimed electrophotog. photoreceptor contain halogenated In phthalocyanine whose x-ray diffraction pattern shows strong peaks at Bragg angle 20 of 6.0, 12.4, 25.4, and 27.8°. The phthalocyanine derivative is dispersed in an appropriate binder. The photosensitive layer may also contain (1) ≥1 compound selected from indoline, quinoline and triphenylamine derivs., (2) a bisazo compound, and a (3) perylene derivative in addition to the phthalocyanine.

IT 106019-68-5

(binder, for chloroindium phthalocyanine-containing electrophotog. charge carrier-generating layer)

RN 106019-68-5 HCAPLUS

CN Carbonothioic acid, polymer with 3,3'-[1,2-ethanediylbis(oxy)]bis[phenol] (9CI) (CA INDEX NAME)

CM 1

CRN 61166-00-5 CMF C14 H14 O4

CM 2

CRN 10016-32-7 CMF C H2 O2 S

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HS-С-ОН
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IC ICM G03G005-06 G03G005-04; H01L031-08 74-3 (Radiation Chemistry, Photochemistry, and Photographic and CC Other Reprographic Processes) ΙT 9002-86-2D, chlorinated 9003-53-6, Polystyrene 9017-21-4, Poly(vinyl toluene) 24936-68-3, Poly(4,4'isopropylidenediphenylcarbonate), uses and miscellaneous 25066-97-1, Ethyl acrylate-styrene copolymer 25067-59-8, Poly(N-vinylcarbazole) 25068-38-6, PKHH 25135-52-8 25747-73-3, Poly(vinylene carbonate) 26471-16-9 26781-55-5, Vinyl acetate-vinylidene chloride copolymer 26814-08-4 26913-25-7 27708-78-7 27815-51-6 28135-05-9 28412-31-9D, chlorinated 28774-93-8 30142-60-0 30142-63-3 31133-78-5 31497-85-5 31694-78-7 31884-94-3 38797-88-5 52684-16-9 60163-92-0 106019-67-4 106019-68-5 106019-69-6 106019-70-9 106049-59-6 106049-60-9 106069-78-7 106069-79-8 106069-80-1 (binder, for chloroindium phthalocyanine-containing electrophotog.

charge carrier-generating layer)